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L4 HAS NO ANSWERS
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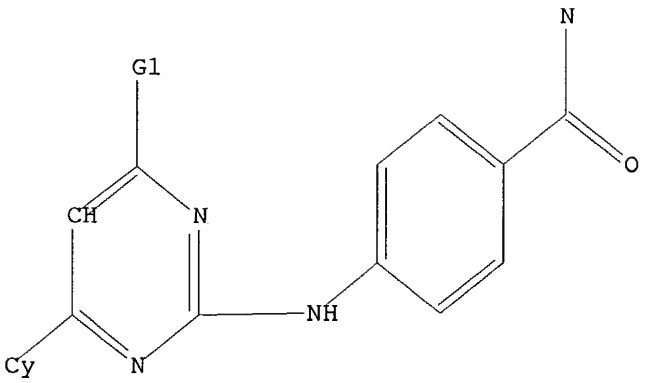

G1 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

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=> s l4 sss full
FULL SEARCH INITIATED 17:09:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  12653 TO ITERATE

100.0% PROCESSED   12653 ITERATIONS                          523 ANSWERS
SEARCH TIME: 00.00.01

L5          523 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               155.42     403.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00     -13.23

FILE 'CAPLUS' ENTERED AT 17:09:36 ON 11 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 11 Aug 2004  VOL 141 ISS 7
FILE LAST UPDATED: 10 Aug 2004  (20040810/ED)
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This file contains CAS Registry Numbers for easy and accurate substance identification.

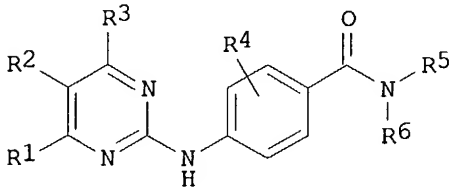
=> s l5
L6 18 L5

=> d l6 1-18 ibib abs hitstr

L6 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:451634 CAPLUS
DOCUMENT NUMBER: 141:23544
TITLE: Preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition
INVENTOR(S): Satoh, Yoshitaka; Bhagwat, Shripad S.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 4,645. = 10/395,811
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004106634	A1	20040603	US 2003-395811		20030324
US 2003220330	A1	20031127	US 2001-4645		20011204
PRIORITY APPLN. INFO.:			US 2000-251904P	P	20001206
			US 2001-4645	A2	20011204

OTHER SOURCE(S): MARPAT 141:23544
GI

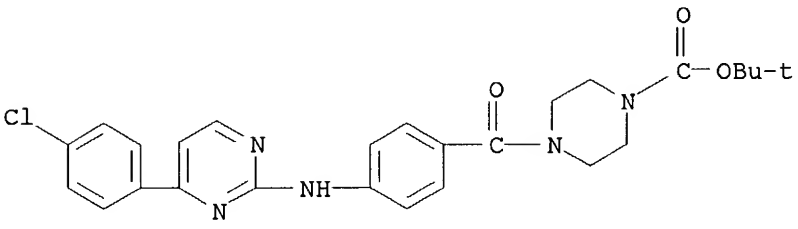


I

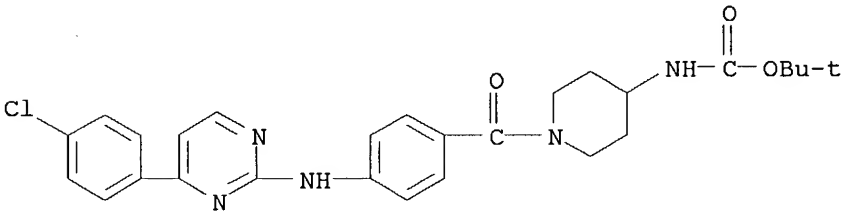
AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2, R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of ≤ 10 μM in the JNK2 assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition (such as obesity).

IT **434945-83-2P 434947-59-8P 434947-63-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition)

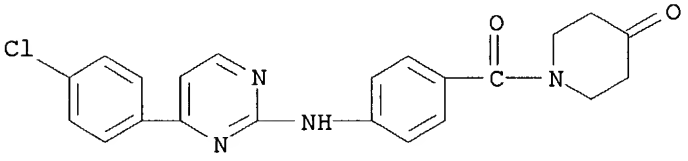
RN 434945-83-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 434947-59-8 CAPLUS
CN Carbamic acid, [1-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 434947-63-4 CAPLUS
CN 4-Piperidinone, 1-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



IT **434944-82-8P 434944-84-0P 434944-85-1P**
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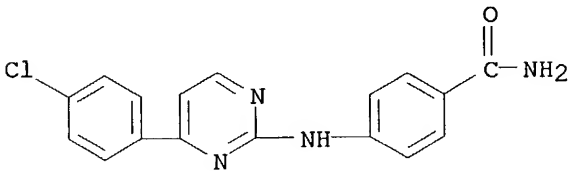
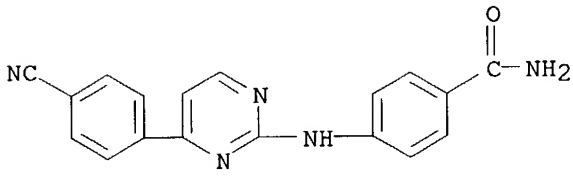
434947-33-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition)

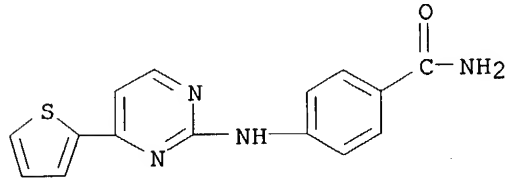
RN 434944-82-8 CAPLUS
CN Benzamide, 4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 434944-84-0 CAPLUS
CN Benzamide, 4-[[4-(4-cyanophenyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 434944-85-1 CAPLUS
CN Benzamide, 4-[[4-(2-thienyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 434944-86-2 CAPLUS
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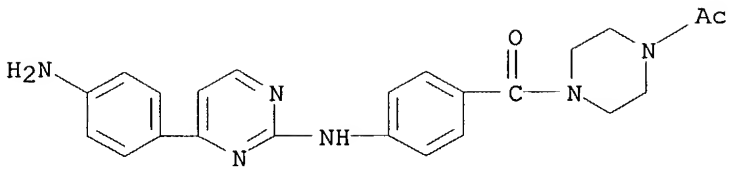


L6 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:354948 CAPLUS
DOCUMENT NUMBER: 140:357361
TITLE: Preparation of pyrazolopyridazines as GSK-3 kinase inhibitors for treating Type II Diabetes
INVENTOR(S): Dickerson, Scott Howard; Tavares, Francis Xavier; Zhou, Huiqiang
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035588	A1	20040429	WO 2003-US32473	20031014

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-418522P P 20021015
OTHER SOURCE(S): MARPAT 140:357361
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

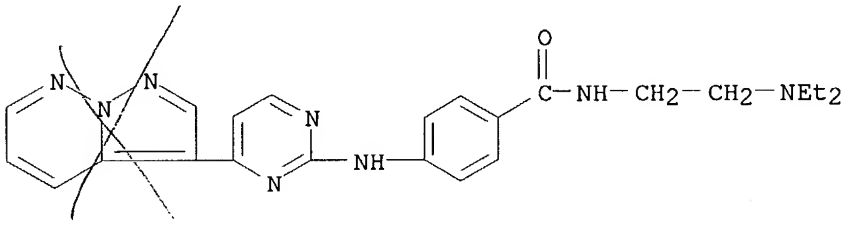
AB Title compds. I [wherein D = N, CH; R1 = (un)substituted hetero/aryl; n = 1 or 2; R2 = H, alk(en/yn)yl, haloalkyl, cycloalkyl, halo, heterocyclyl, hetero/aryl, CN, azido, NO2, OH and derivs., CO2H and derivs., CONH2 and derivs., NH2 and derivativesS(O)qH and derivs., etc.; q = 0-2; R3 = Qp-Q1; Q = O, NH and derivs., S(O)q; p = 0 or 1; Q1 = ar/cyclo/halo/alkyl, heteroaryl, (un)substituted aryl, etc.; their salts, solvates, and physiol. functional derivs.] were prepared as GSK3 kinase inhibitors for treating Type II Diabetes mellitus. For example, II was prepared by cycloaddn. of 1-aminopyridazinium iodide (preparation given) with 3-butyn-2-one in CH2Cl2, reaction of the methylketone with DMF di-tert-butylacetal in DMF, and cyclocondensation of the α, β-unsatd. ketone with N-cyclopropylguanidine•0.5H2SO4 (preparation given) in DMF in the presence of K2CO3. I dispayed pIC50 values > 5.0 for the inhibition of GSK3

kinase.

IT **551920-05-9P**, N-[2-(Diethylamino)ethyl]-4-[(4-pyrazolo[1,5-b]pyridazin-3-yl-2-pyrimidinyl)amino]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(GSK3 inhibitor; preparation of pyrazolopyridazines as GSK-3 inhibitors for treating Type II Diabetes)

RN 551920-05-9 CAPLUS

CN Benzamide, N-[2-(diethylamino)ethyl]-4-[(4-pyrazolo[1,5-b]pyridazin-3-yl-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:41464 CAPLUS

DOCUMENT NUMBER: 140:111424

TITLE: Preparation of phenyl-[4-(3-phenyl-1H-pyrazol-4-yl)-pyrimidin-2-yl]-amines as protein tyrosine kinase inhibitors

INVENTOR(S): Furet, Pascal; Imbach, Patricia; Ramsey, Timothy Michael; Schlapbach, Achim; Scholz, Dieter; Caravatti, Giorgio

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005282	A1	20040115	WO 2003-EP7350	20030708

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: GB 2002-15844 A 20020709

OTHER SOURCE(S): MARPAT 140:111424

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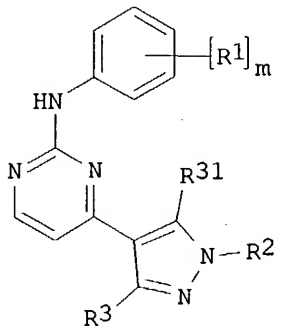


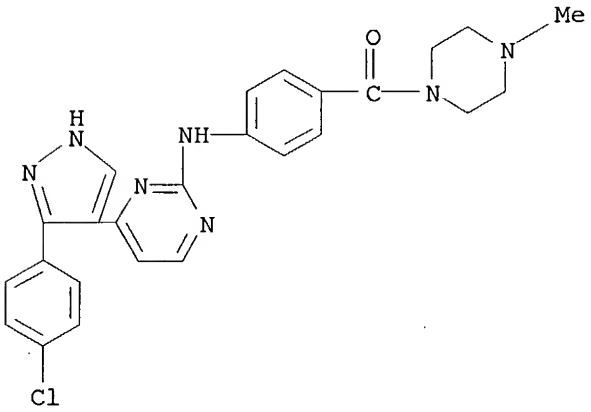
I

AB The title compds. [I; m = 1-5; R1 = alkylsulfonyl, (un)substituted aminosulfonyl, amino, etc.; R2 = H, (un)substituted alkyl, heterocyclyl; R3 = H, (un)substituted Ph; R31 = H if R3 = (un)substituted Ph or R31 = (un)substituted Ph if R3 = H; with the proviso], useful for treating diseases which respond to an inhibition of a protein tyrosine kinase, were prepared and formulated. Thus, reacting 2-chloro-4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]pyrimidine with 4-(4-methylpiperazin-1-yl)phenylamine afforded I [R1 = 4-(4-methylpiperazin-1-yl); m = 1; R2 = H; R3 = 4-ClC6H4; R31 = H] which showed IC50 of 0.018 μM, 0.023 μM, and 0.01 μM against EGF-R (HER-1), ErbB-2 (HER-2) and VEGF receptor (KDR), resp. The invention relates also to pharmaceutical compns. comprising the compds. I and to the use of such derivs. - alone or in combination with one or more other pharmaceutically active compds. - for the preparation of pharmaceutical compns. for the treatment especially of a proliferative disease, such as a tumor.

IT **646525-64-6P 646526-58-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenyl[4-(3-phenyl-1H-pyrazol-4-yl)pyrimidin-2-yl]amines as protein tyrosine kinase inhibitors)

RN 646525-64-6 CAPLUS

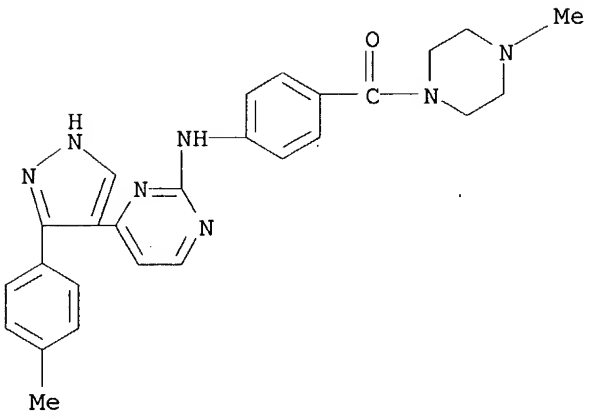
CN Piperazine, 1-[4-[[4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 646526-58-1 CAPLUS

CN Piperazine, 1-methyl-4-[4-[[4-[3-(4-methylphenyl)-1H-pyrazol-4-yl]-2-

pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

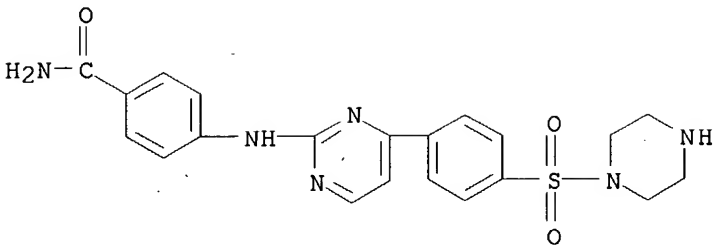
L6 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1001978 CAPLUS
DOCUMENT NUMBER: 140:314405
TITLE: A novel series of potent and selective IKK2 inhibitors
AUTHOR(S): Bingham, Alistair H.; Davenport, Richard J.; Gowers, Lewis; Knight, Roland L.; Lowe, Christopher; Owen, David A.; Parry, David M.; Pitt, Will R.
CORPORATE SOURCE: Celltech R&D Ltd, Great Abington, Cambridge, CB16GS, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 409-412
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

Date not good

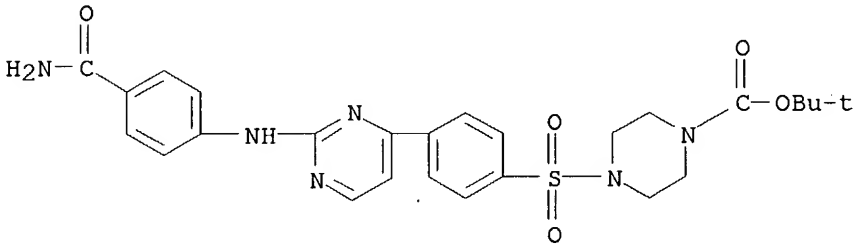
AB A novel series of aminopyrimidine IKK2 inhibitors have been developed which show excellent in vitro inhibition of this enzyme and good selectivity over the IKK1 isoform. The relative potency and selectivity of these compds. has been rationalized using QSAR and structure-based modeling.

IT **677753-21-8P**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and QSAR studies of series of potent and selective aminopyrimidine IKK2 inhibitors)

RN 677753-21-8 CAPLUS
CN Benzamide, 4-[[4-[4-(1-piperazinylsulfonyl)phenyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



IT **677753-00-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and QSAR studies of series of potent and selective aminopyrimidine IKK2 inhibitors)
RN 677753-00-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[2-[[4-(aminocarbonyl)phenyl]amino]-4-pyrimidinyl]phenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

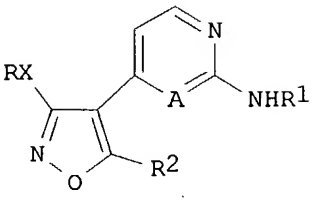


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:874966 CAPLUS
DOCUMENT NUMBER: 139:364918
TITLE: Preparation of isoxazole derivatives as inhibitors of Src and other protein kinases
INVENTOR(S): Harrington, Edmund
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003207873	A1	20031106	US 2002-119890	20020410
PRIORITY APPLN. INFO.:			US 2002-119890	20020410

OTHER SOURCE(S): MARPAT 139:364918
GI



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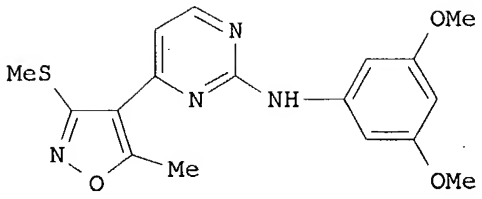


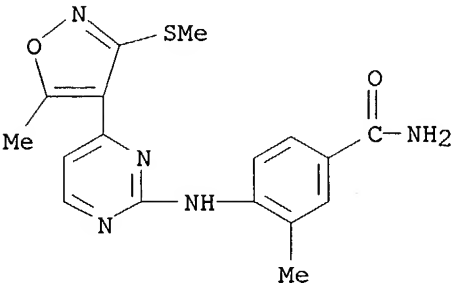
II

AB Isoxazole derivs. of formula I [X = alkylene, O, S, (substituted) NH, SO2, etc.; A = N, (substituted) CH; R = H, alkyl, aryl, etc.; R1 = H, alkyl, aryl, acyl, etc.; R2 = H, alkyl, CH2OH, CHO, CH2NH2, aryl, etc.] are prepared These compds. are inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli. Thus, II was prepared from 3-(bis(methylthio)methylene)pentane-2,4-dione, DMF di-Me acetal and 3,5-dimethoxyphenyl guanidine. Many of the compds. tested for inhibition of Src had IC50 < 1 μM.

IT **473445-59-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoxazole derivs. as inhibitors of Src, Lck, and JNK3 protein kinases)

RN 473445-59-9 CAPLUS

CN Benzamide, 3-methyl-4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:590836 CAPLUS

DOCUMENT NUMBER: 139:149624

TITLE: Preparation of 1,4-diarylpyrazole inhibitors of src and other protein kinases

INVENTOR(S): Young, Choon Moon

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 35 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

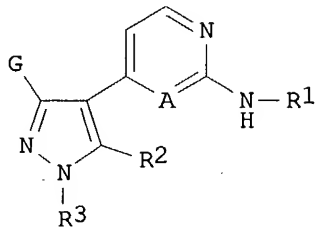
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144309	A1	20030731	US 2002-146984	20020516

PRIORITY APPLN. INFO.: US 2002-146984 20020516
OTHER SOURCE(S): MARPAT 139:149624
GI



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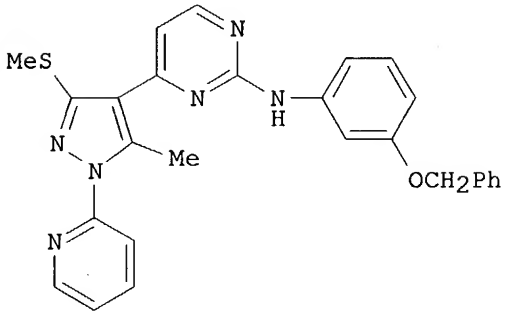


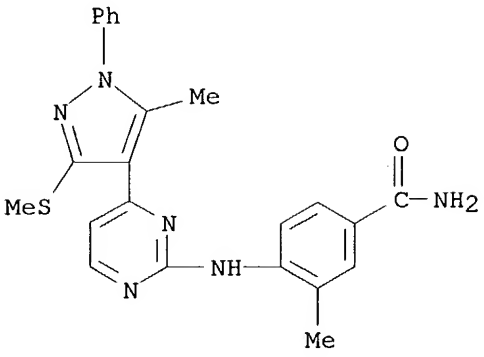
II

AB Title compds. I [G = XR, XAr; X = alkylidene wherein one or two non-adjacent methylene units of X are replaced by O, amino, S, CO, etc.; A = N, CR; R = H, aliphatic, etc.; Ar = (un)substituted 5-6 membered (un)saturated monocyclic ring, etc.; R1 = TnR, TnAr; n = 0-1; T = CO, CO2, COCO, etc.; R2 = H, Ar, aliphatic; R3 = R, Ar] are prepared For instance, 3-(bis(methylsulfanyl)methylene)pentane-2,4-dione (preparation given) is condensed with (pyridin-2-yl)hydrazine to give 1-[5-methyl-3-(methylsulfanyl)-1-(pyridin-2-yl)-1H-pyrazole-4-yl]ethanone. This intermediate is reacted with DMFDMA (reflux) and the resulting β-amino enone condensed with N-(3-benzyloxyphenyl)guanidine to give II. Many of the compds. have Ki ≤ 1 μM for src kinase. I are inhibitors of protein kinase, particularly inhibitors of src mammalian protein kinase involved in cell proliferation, cell death in response to extracellular stimuli.

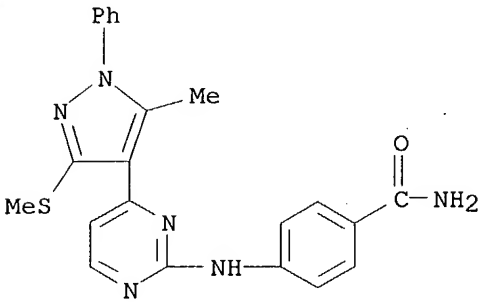
IT **475573-47-8P 475573-59-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-phenyl-4-pyrimidinyl-substituted pyrazole inhibitors of src and other protein kinases)

RN 475573-47-8 CAPLUS

CN Benzamide, 3-methyl-4-[[4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 475573-59-2 CAPLUS
CN Benzamide, 4-[[4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

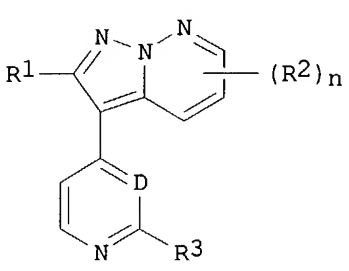


L6 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:491232 CAPLUS
DOCUMENT NUMBER: 139:69273
TITLE: Preparation of (pyrazolo[1,5-b]pyridazinyl)pyrimidinamines and analogs as cyclin dependent kinase inhibitors for treatment of cancer
INVENTOR(S): Harris, Phillip Anthony; Jung, David Kendall; Peel, Michael Robert; Reno, Michael John; Rheault, Tara Renae; Stanford, Jennifer Badiang; Stevens, Kirk Lawrence; Veal, James Marvin
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051886	A1	20030626	WO 2002-US39672	20021211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,

RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-341798P P 20011217
OTHER SOURCE(S): MARPAT 139:69273
GI



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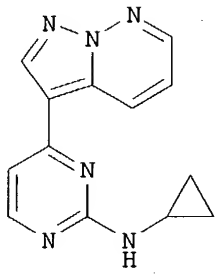


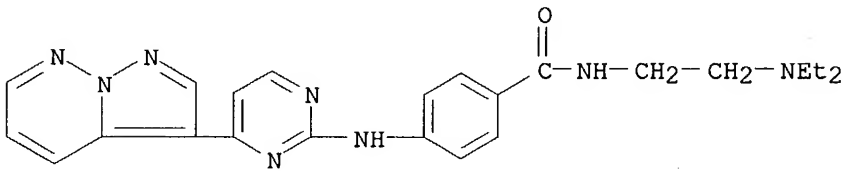
II

AB Fused pyridazine derivs. I [wherein D = N or CH; R1 = H, alkyl, alkenyl, alkynyl, alkoxy, halo, CF3, OH, CN, SO0-2-alkyl, or NR4R5; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, haloalkyl, halo, heterocyclyl, (hetero)aryl, CN, N3, NO2, OR8, OR6R8, R6R7, R6R11, OSO2R9, SO0-2R10, COR7, CO2R7, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R7, C(NR4)NR4R5, NR4R5, OCOR7, or NR8COR8; R3 = QpQ1; R4 and R5 = independently H, (cyclo)alkyl, or COR9; or NR4R5 = heterocyclyl; R6 = (cyclo)alkylene, (cyclo)alkenylene, alkynylene, or (hetero)arylene; R7 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, SO0-2R10, COR8, CO2R8, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R8, C(NR4)NR4R5, NR4R5, OCOR7, or NR8COR8; R8 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, or SO2R9; R9 = (halo)alkyl; R10 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, COR8, CO2R8, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R8, C(NR4)NR4R5, NR4R5, or NR8COR8; R11 = OR7, OCONR4R5, OCO2R7, or OCOR7; R12 = alkylene; Q = O, NR8, or SO0-2; Q1 = (cyclo)alkyl, haloalkyl, (un)substituted aryl, heteroaryl, aralkyl, or R6NR4R5; n = 1-2; p = 0-1; and salts solvates, and physiol. functional derivs. thereof] were prepared as cyclin dependent kinase (CDK) inhibitors. For example, reaction of 1-aminopyridazinium iodide with 3-butyne-2-one in the presence of KOH in H2O provided 1-(pyrazolo[1,5-b]pyridazin-3-yl)ethanone (69%). Coupling of the ethanone with DMF di-tert-Bu acetal afforded (2E)-3-(dimethylamino)-1-pyrazolo[1,5-b]pyridazin-3-yl-2-propen-1-one (70%), which was cyclized with N-cyclopropylguanidine•0.5H2SO4 in DMF to give II (75%). The latter inhibited CDK4 and CDK2 with IC50 values of <0.1 μM and <1.0 μM, resp. Thus, I are useful for the treatment of hyperproliferative diseases, such as cancer (no data).

IT **551920-05-9P**, N-[2-(Diethylamino)ethyl]-4-[[4-(pyrazolo[1,5-b]pyridazin-3-yl)-2-pyrimidinyl]amino]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(CDK inhibitor; preparation of (pyrazolo[1,5-b]pyridazinyl)pyrimidinamines and analogs as CDC inhibitors for treatment of cancer)

RN 551920-05-9 CAPLUS

CN Benzamide, N-[2-(diethylamino)ethyl]-4-[(4-pyrazolo[1,5-b]pyridazin-3-yl-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



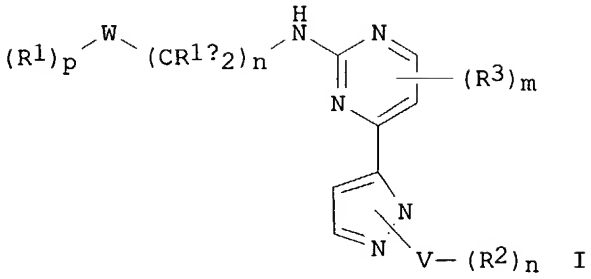
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:117807 CAPLUS
DOCUMENT NUMBER: 138:153548
TITLE: Preparation of 4-(pyrazolyl)-2-pyrimidinamines as tyrosine kinase inhibitors
INVENTOR(S): Fraley, Mark E.; Peckham, Jennifer P.; Arrington, Kenneth L.; Hoffman, William F.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011837	A1	20030213	WO 2002-US23879	20020726

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-309399P P 20010801
OTHER SOURCE(S): MARPAT 138:153548
GI



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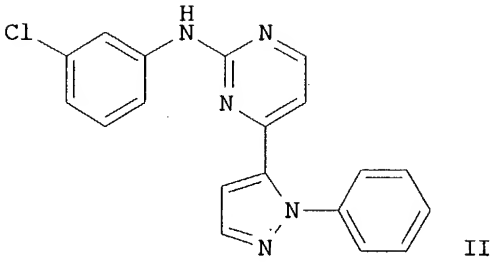
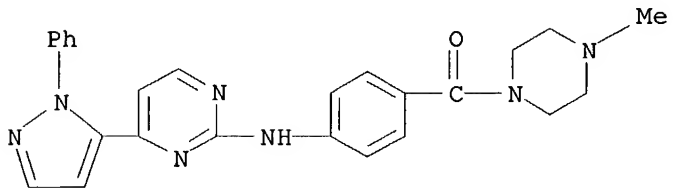


II

AB The present invention relates to title compds. I [wherein R1a = H, (un)substituted alkyl, OR8, or N(R8)2; R1 and R2 = independently H, halo, CF3, (CH2)tR9COR8, COR9, (CH2)tOR8, CN, (CH2)tNR7R8, (CH2)tCONR7R8, CO2R8, (CH2)tSO0-2(CH2)tNR7R8, or (un)substituted (cyclo)alkyl, aryl, heterocyclyl, alkenyl, or alkynyl; R3 = independently H, CN, halo, N(R3)2, (CH2)tOR8, or (un)substituted (ar)alkyl or aryl; R7 = independently H or (un)substituted (ar)alkyl; R8 = independently H or (un)substituted (cyclo)alkyl, aryl, heterocyclyl, or aralkyl; or NR7R8 = (un)substituted heterocyclyl; R9 = independently (un)substituted heterocyclyl, alkyl, or aryl; V = a bond, aryl, or heterocyclyl; W = aryl or heterocyclyl; m = 0-2; n = 0-6; p = 0-4; t = independently 0-6; and pharmaceutically acceptable salts, hydrates, and stereoisomers thereof], which inhibit, regulate and/or modulate tyrosine kinase signal transduction, compns. which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions. For example, 2-(methylthio)pyrimidine-4-carboxylic acid was amidated with dimethylhydroxylamine•HCl in the presence of EDC and TEA, and the product treated with MeMgBr in Et2O to give 1-[2-(methylthio)pyrimidin-4-yl]ethanone. Coupling with N,N-dimethylformamide dimethylacetal followed by cyclization with phenylhydrazine afforded 2-(methylthio)-4-(1-phenyl-1H-pyrazol-3/5-yl)pyrimidine. Oxidation with oxone and reaction with 3-chloroaniline provided the 4-(pyrazolyl)-2-pyrimidinamine II. In bioassays, I inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.01 μM and 5.0 μM. Thus, I are useful for the treatment of angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals (no data).

IT **496863-56-0P**, N-[4-[(4-Methylpiperazin-1-yl)carbonyl]phenyl]-4-(1-phenyl-1H-pyrazol-5-yl)pyrimidin-2-amine **496863-57-1P**, N-[4-[(4-Methylpiperazin-1-yl)carbonyl]phenyl]-4-(1-phenyl-1H-pyrazol-5-yl)pyrimidin-2-amine trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tyrosine kinase inhibitor; preparation of (pyrazolyl)pyrimidinamine tyrosine kinase inhibitors by reacting amines with (methylsulfonyl)(pyrazolyl)pyrimidines)

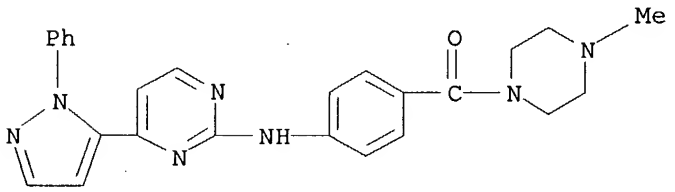
RN 496863-56-0 CAPLUS
CN Piperazine, 1-methyl-4-[4-[[4-(1-phenyl-1H-pyrazol-5-yl)-2-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 496863-57-1 CAPLUS
CN Piperazine, 1-methyl-4-[4-[[4-(1-phenyl-1H-pyrazol-5-yl)-2-pyrimidinyl]amino]benzoyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 496863-56-0
CMF C25 H25 N7 O



CM 2

CRN 76-05-1
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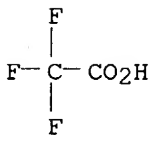


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:888716 CAPLUS
DOCUMENT NUMBER: 137:384853
TITLE: Preparation of pyrazolyl pyridinamines and pyrimidinamines as inhibitors of Src and other protein kinases
INVENTOR(S): Moon, Young-Choon
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092573	A2	20021121	WO 2002-US15606	20020516
WO 2002092573	A3	20040122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

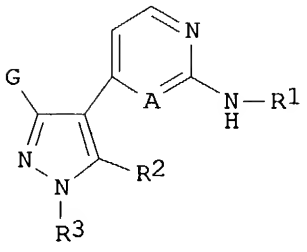
EP 1404669	A2	20040407	EP 2002-769762	20020516

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2001-291340P P 20010516
WO 2002-US15606 W 20020516

OTHER SOURCE(S): MARPAT 137:384853

GI



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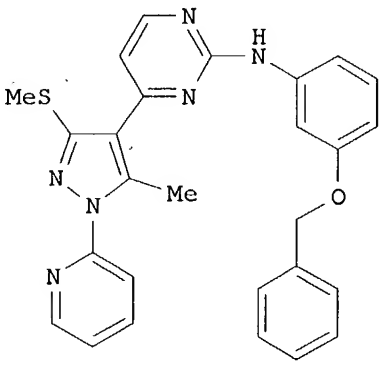


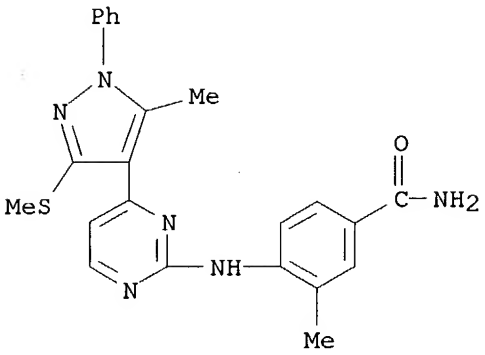
II

AB Title compds. I [wherein G = XR or XAr; X = independently alkylidene wherein 1-2 non-adjacent methylene units are independently replaced by O, NR, S, CO, CONR, NRCO, NRCONR, SO, SO2, NRSO2, SO2NR, or NRSO2NR; A = N or CR; R = H or (un)substituted aliphatic group; or NR2 = heterocyclyl; Ar = (un)substituted 5-6 membered monocyclic ring with 0-3 heteroatoms or 8-10 membered bicyclic ring with 0-4 heteroatoms; R1 = TnR or TnAr; n = 0-1; T = CO, CO2, COCO, COCH2CO, CONR, SO2, or SO2NR; R2 = H, Ar, or (un)substituted aliphatic group; R3 = R or Ar; or pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli (no data). For example, 3-dimethylamino-1-[5-methyl-3-methylsulfanyl-1-(pyridin-2-yl)-1H-pyrazol-4-yl]propenone was coupled with N-(3-benzyloxyphenyl)guanidine in MeOH to give II (40%). I and compns. containing I are useful in the treatment and

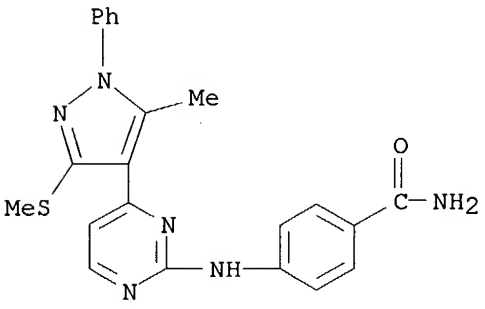
prevention of various inflammatory, autoimmune, destructive bone, proliferative, infectious, neurodegenerative, allergic, and cardiac disorders and diseases (no data).

IT **475573-47-8P**, N-(2-Methyl-4-(aminocarbonyl)phenyl)-N-[4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
475573-59-2P, N-(4-(Aminocarbonyl)phenyl)-N-[4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Src protein kinase inhibitor; preparation of pyrazolyl pyridinamines and pyrimidinamine inhibitors of protein kinases using condensation, cyclization, and substitution reactions)

RN 475573-47-8 CAPLUS
CN Benzamide, 3-methyl-4-[[4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 475573-59-2 CAPLUS
CN Benzamide, 4-[[4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



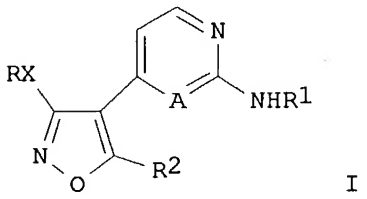
L6 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:814127 CAPLUS
DOCUMENT NUMBER: 137:325409
TITLE: Preparation of isoxazole derivatives as inhibitors of Src and other protein kinases
INVENTOR(S): Harrington, Edmund
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083668	A1	20021024	WO 2002-US11609	20020410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1377572	A1	20040107	EP 2002-731356	20020410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2001-282935P P 20010410
WO 2002-US11609 W 20020410

OTHER SOURCE(S): MARPAT 137:325409
GI



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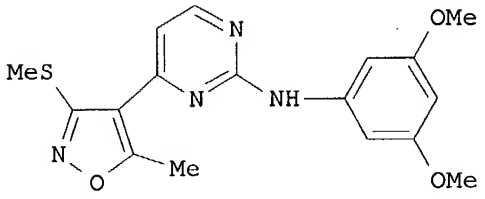
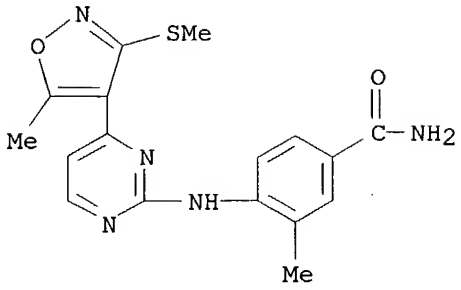


II

AB Isoxazole derivs. of formula I [X = alkylene, O, S, (substituted) NH, SO2, etc.; A = N, (substituted) CH; R = H, alkyl, aryl, etc.; R1 = H, alkyl, aryl, acyl, etc.; R2 = H, alkyl, CH2OH, CHO, CH2NH2, aryl, etc.] are prepared These compds. are inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli. Thus, II was prepared from 3-(bis(methylthio)methylene)pentane-2,4-dione, DMF di-Me acetal and 3,5-dimethoxyphenyl guanidine. Many of the compds. tested for inhibition of Src had IC50 < 1 μM.

IT **473445-59-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoxazole derivs. as inhibitors of Src, Lck, and JNK3 protein kinases)

RN 473445-59-9 CAPLUS
CN Benzamide, 3-methyl-4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

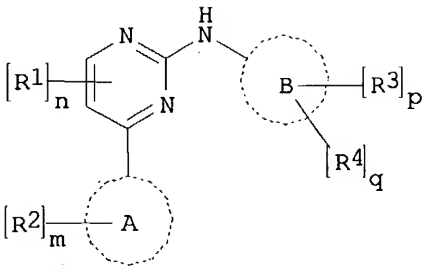


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:658126 CAPLUS
DOCUMENT NUMBER: 137:201324
TITLE: Preparation of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines for the treatment of GSK3-related disorders
INVENTOR(S): Berg, Stefan; Bhat, Ratan; Hellberg, Sven
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066480	A2	20020829	WO 2002-SE270	20020218
WO 2002066480	A3	20040401		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2002007096	A	20040120	BR 2002-7096	20020218
EP 1423388	A2	20040602	EP 2002-712572	20020218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004522777	T2	20040729	JP 2002-565994	20020218
NO 2003003677	A	20031002	NO 2003-3677	20030819
US 2004106574	A1	20040603	US 2003-468605	20030819
PRIORITY APPLN. INFO.:			US 2001-269903P P	20010220
			WO 2002-SE270 W	20020218

OTHER SOURCE(S): MARPAT 137:201324
GI



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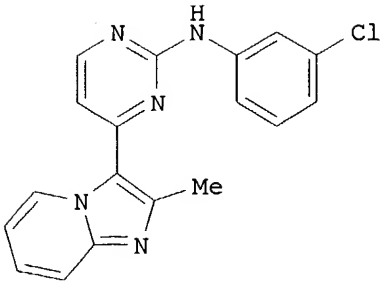
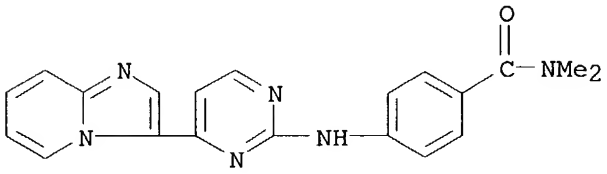


II

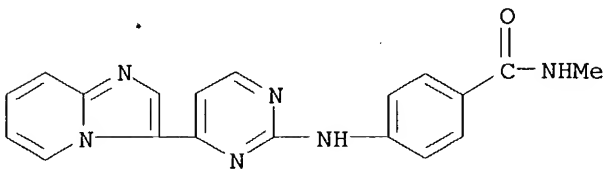
AB The title compds. [I; ring A = imidazo[1,2-a]pyrid-3-yl or pyrazolo[2,3-a]pyrid-3-yl; R2 = halo, NO2, CN, etc.; m = 0-5; R1 = halo, NO2, CN, etc.; n = 0-2; ring B = Ph, Ph fused to cycloalkyl; R3 = halo, NO2, CN, etc.; p = 0-4; R4 = EA (A = H, alkyl, Ph, etc.; E = a direct bond, O, CO, etc.); q = 0-2], useful in the treatment and/or prophylaxis of conditions associated with glycogen synthase kinase-3, were prepared and formulated. Thus, reacting 3-chloroaniline with 4-(2-methylimidazo[1,2-a]pyrid-3-yl)-2-methylthiopyrimidine (preparation given) in the presence of NaH in NMP afforded 21% II. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,100 nM in human GSK3β assay.

IT **328061-72-9P 328061-73-0P 328062-00-6P 328062-01-7P 453510-77-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines for the treatment of GSK3-related disorders)

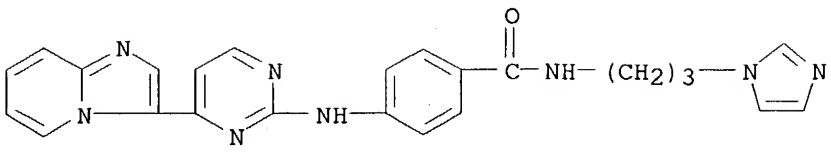
RN 328061-72-9 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



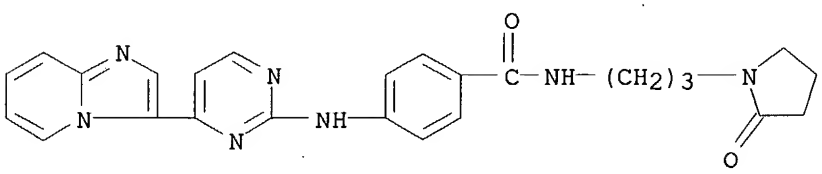
RN 328061-73-0 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-methyl- (9CI) (CA INDEX NAME)



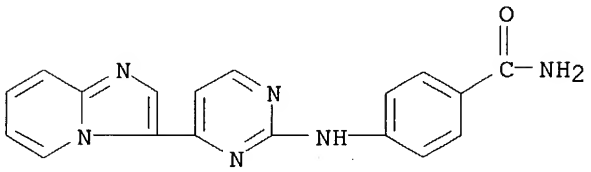
RN 328062-00-6 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)



RN 328062-01-7 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 453510-77-5 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449662 CAPLUS
DOCUMENT NUMBER: 137:33310
TITLE: Preparation of anilinopyrimidines as IKK inhibitors
INVENTOR(S): Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.; Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

present CASP

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046171	A2	20020613	WO 2001-US46403	20011205
WO 2002046171	A3	20030123		

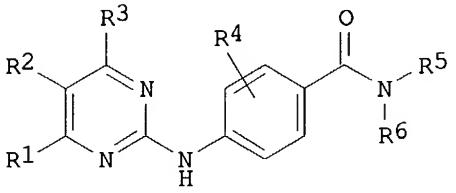
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003203926	A1	20031030	US 2001-4642		20011204
AU 2002020195	A5	20020618	AU 2002-20195		20011205
EP 1349841	A2	20031008	EP 2001-999564		20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004523497	T2	20040805	JP 2002-547910		20011205
PRIORITY APPLN. INFO.:			US 2000-251816P	P	20001206
			WO 2001-US46403	W	20011205

OTHER SOURCE(S): MARPAT 137:33310

GI



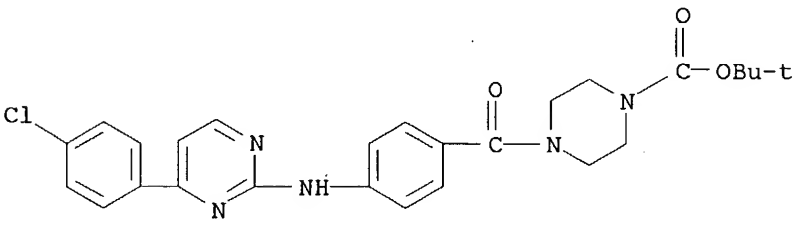
I

AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of IKK, particularly IKK-2, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of ≤ 1 μM in the IKK-2 enzyme assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to IKK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. containing one or more compds. of the above compds.

IT **434945-83-2P 434947-59-8P 434947-63-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of anilinopyrimidines as IKK inhibitors)

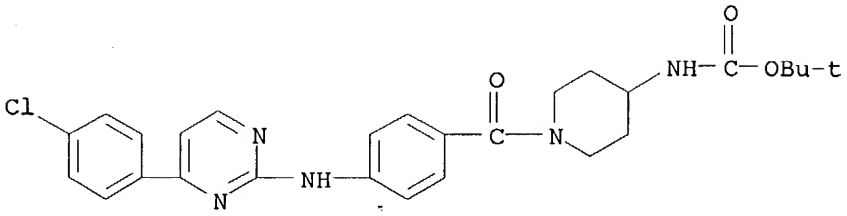
RN 434945-83-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

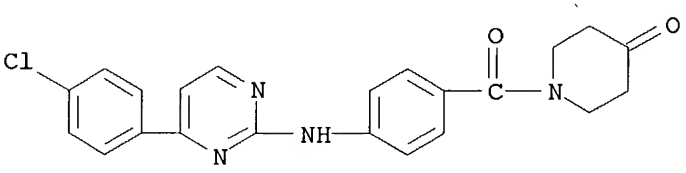


RN 434947-59-8 CAPLUS

CN Carbamic acid, [1-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 434947-63-4 CAPLUS
CN 4-Piperidinone, 1-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)



IT **434944-82-8P 434944-84-0P 434944-85-1P**
434944-86-2P 434944-87-3P 434944-88-4P
434944-89-5P 434944-90-8P 434944-91-9P
434944-92-0P 434944-93-1P 434944-94-2P
434944-95-3P 434944-96-4P 434944-97-5P
434944-98-6P 434944-99-7P 434945-00-3P
434945-01-4P 434945-02-5P 434945-03-6P
434945-04-7P 434945-05-8P 434945-06-9P
434945-07-0P 434945-08-1P 434945-09-2P
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434945-62-7P 434945-63-8P 434945-64-9P
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434946-08-4P 434946-09-5P 434946-10-8P
434946-11-9P 434946-12-0P 434946-13-1P
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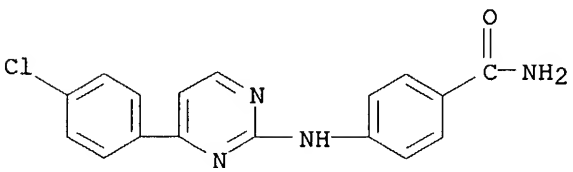
434947-33-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilinopyrimidines as IKK inhibitors)

RN 434944-82-8 CAPLUS
CN Benzamide, 4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



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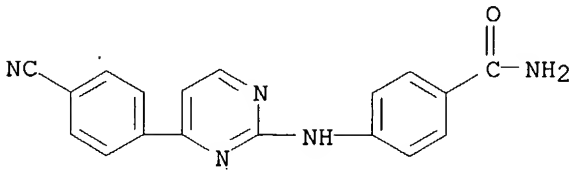

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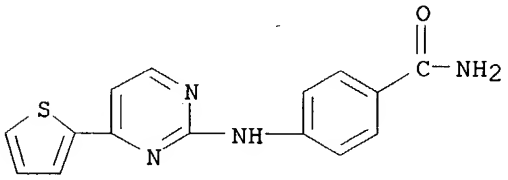

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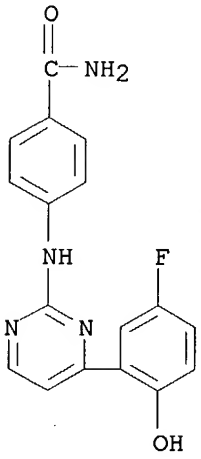

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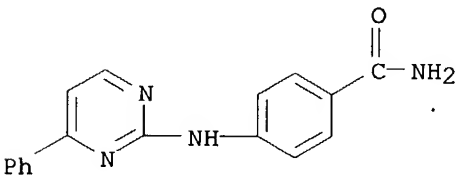

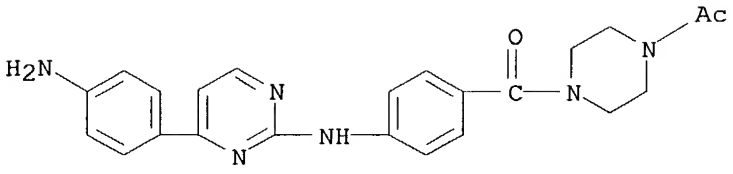

L6 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449661 CAPLUS
DOCUMENT NUMBER: 137:33309
TITLE: Preparation of anilinopyrimidines as JNK pathway inhibitors
INVENTOR(S): Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.; Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046170	A2	20020613	WO 2001-US46402	20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1349840	A2	20031008	EP 2001-996103	20011205
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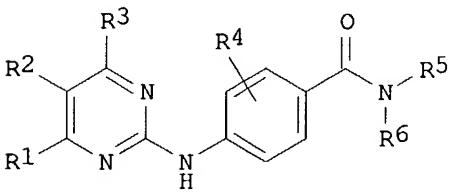
PRIORITY APPLN. INFO.: US 2000-251904P P 20001206
WO 2001-US46402 W 20011205

OTHER SOURCE(S): MARPAT 137:33309
GI

present + 10/004,645



I

AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl,

etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of ≤ 10 μM in the JNK2 assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to inhibition of the JNK pathway. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. containing one or more compds. of the above compds.

IT **434945-83-2P 434947-59-8P 434947-63-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of anilinopyrimidines as JNK pathway inhibitors)

RN 434945-83-2 CAPLUS
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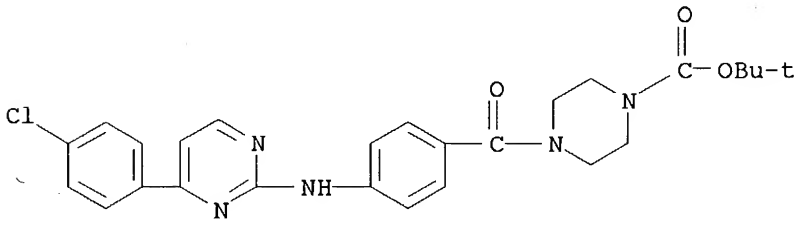

RN 434947-59-8 CAPLUS
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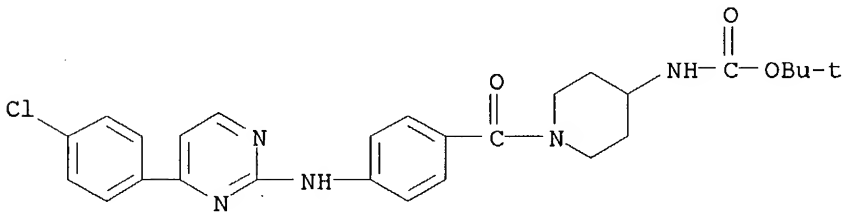

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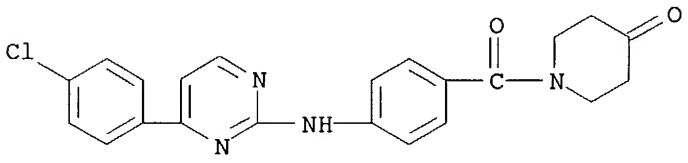

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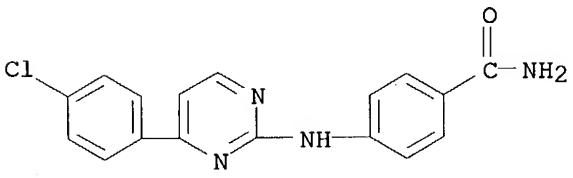
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilinopyrimidines as JNK pathway inhibitors)

RN 434944-82-8 CAPLUS
CN Benzamide, 4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



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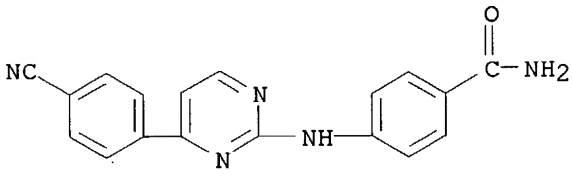

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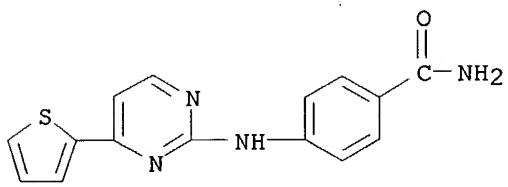

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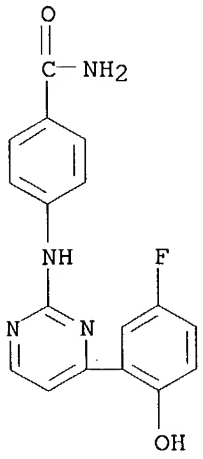
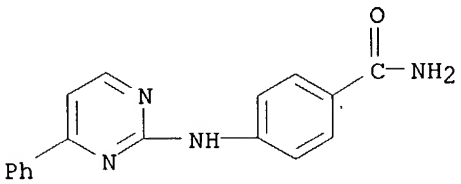


RN 434944-87-3 CAPLUS
CN Benzamide, 4-[(4-phenyl-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



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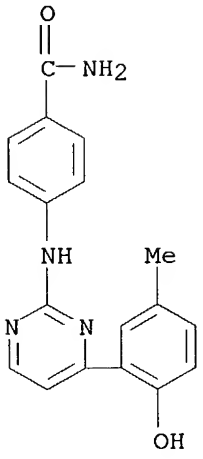

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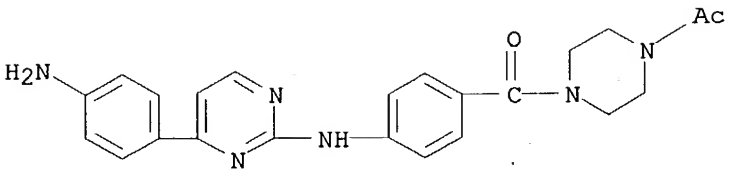

L6 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:185108 CAPLUS
DOCUMENT NUMBER: 136:247599
TITLE: Preparation of imidazolo-5-yl-2-anilino-pyrimidines as agents for the inhibition of the cell proliferation
INVENTOR(S): Breault, Gloria Anne; Newcombe, Nicholas John; Thomas, Andrew Peter
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020512	A1	20020314	WO 2001-GB3864	20010830
WO 2002020512	C2	20040506		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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NO 2003001006	A	20030304	NO 2003-1006	20030304
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OTHER SOURCE(S): MARPAT 136:247599
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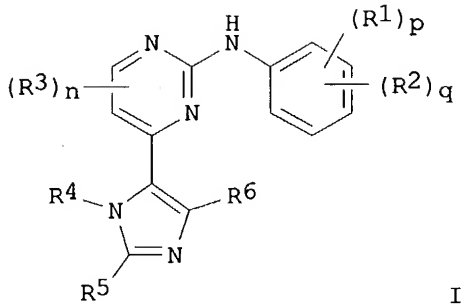
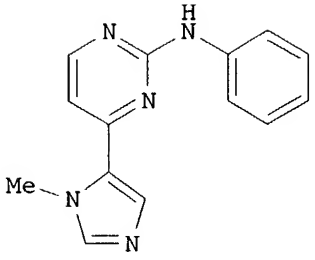


I



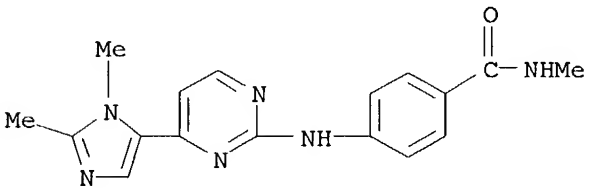
II

AB Title compds. I [R1 = halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, alk(en/yn)yl, alkoxy; p = 0-4; R2 = sulfamoyl, Ra-Rb; q = 0-2; p + q = 0-5; R3 = halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, alkanoyl, etc.; n = 0-2, R4 = H, alk(en/yn)yl, cycloalkyl, Ph, etc.; R5-6 = H, halo, nitro, cyano, hydroxy, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, etc.; Ra = alk(en/yn)yl, cycloalkyl, Ph, heterocyclyl, phenyl-alkyl, etc.; Rb = C(O), amido, carboxamido, etc.] were prepared For instance, phenylguanidine hydrogen carbonate was condensed with 5-(3-dimethylaminoprop-2-en-1-oyl)-1-methylimidazole (i-PrOH, NaOMe, reflux, 3 h) to give II in 64% yield. The CDK2 inhibitory activity of II was measured as IC50 = 0.146 μM.

IT **403792-67-6P**, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-methylcarbamoyl)anilino)pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)

RN 403792-67-6 CAPLUS

CN Benzamide, 4-[[4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:152681 CAPLUS

DOCUMENT NUMBER: 134:193444

TITLE: Preparation of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases.

INVENTOR(S): Thomas, Andrew Peter; Breault, Gloria Anne; Beattie, John Franklin; Jewsbury, Phillip John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

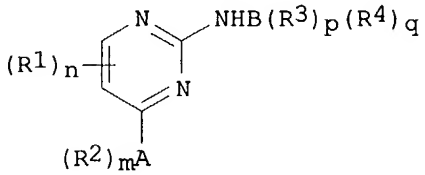
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014375	A1	20010301	WO 2000-GB3139	20000815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013476	A	20020430	BR 2000-13476	20000815
EP 1214318	A1	20020619	EP 2000-953319	20000815
EP 1214318	B1	20031008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507478	T2	20030225	JP 2001-518706	20000815
AU 757639	B2	20030227	AU 2000-65833	20000815
EE 200200080	A	20030616	EE 2002-80	20000815
AT 251623	E	20031015	AT 2000-953319	20000815
PT 1214318	T	20040227	PT 2000-953319	20000815
ES 2208397	T3	20040616	ES 2000-953319	20000815
ZA 2002000028	A	20030402	ZA 2002-28	20020102
BG 106383	A	20020930	BG 2002-106383	20020204
NO 2002000832	A	20020412	NO 2002-832	20020220
HK 1045510	A1	20040319	HK 2002-107002	20020925

PRIORITY APPLN. INFO.: GB 1999-19778 A 19990821
WO 2000-GB3139 W 20000815

OTHER SOURCE(S): MARPAT 134:193444

GI



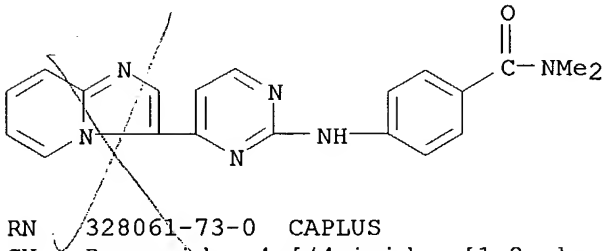
I

AB Title compds. [I; A = imidazo[1,2a]pyrid-3-yl, pyrazolo[2,3a]pyrid-3-yl; R1 = halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, Ph, heterocyclyl, etc.; R2 = halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, SH, carbamoyl, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxyl, Ph, heterocyclyl, PhS, etc.; R3 = halo, NO2, cyano, OH, amino, CO2H, carbamoyl, SH, sulfamoyl, alkenyl, alkynyl; m = 0-5; n = 0-2; Ring B = Ph or Ph fused to a C5-7 cycloalkyl ring; p = 0-4; R4 = AE; A = (substituted) alkyl, Ph, heterocyclyl, cycloalkyl, phenylalkyl, heterocyclylalkyl, cycloalkylcycloalkyl; E = bond, O, CO, CO2, NRaCO, NRa, S, SO, SO2, SO2NRa; q = 0-2; p+q≤5], were prepared Thus, NaH was added to

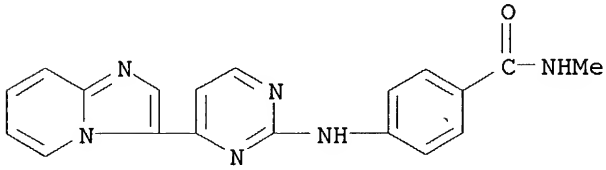
3-chloroaniline in N-methylpyrrolidone; after 30 min. 4-(2-methylimidazo[1,2-a]pyridin-3-yl)-2-methylthiopyrimidine (preparation given) in N-methylpyrrolidone was added and the mixture was heated at 150° for 3 h to give 21% 2-(3-chloroanilino)-4-(2-methylimidazo[1,2-a]pyrid-3-yl)pyrimidine. 2-[4-(2-Diethylaminoethoxy)anilino]-4-(imidazo[1,2-a]pyrid-3-yl)pyrimidine showed CDK2 inhibitory activity with IC50 = 0.17 μM.

IT **328061-72-9P 328061-73-0P 328062-00-6P 328062-01-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)

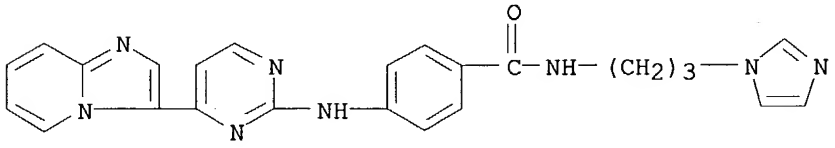
RN 328061-72-9 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



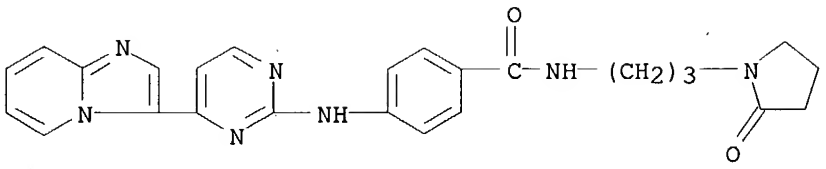
RN 328061-73-0 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-methyl- (9CI) (CA INDEX NAME)



RN 328062-00-6 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)



RN 328062-01-7 CAPLUS
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

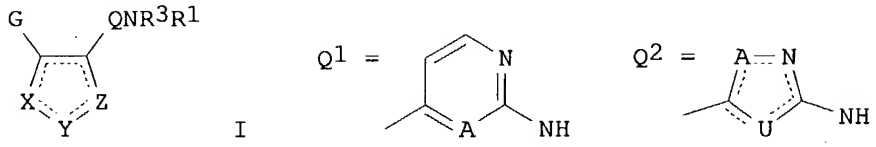


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:137207 CAPLUS
DOCUMENT NUMBER: 134:178569
TITLE: Preparation of as isoxazolylpyrimidines and related compounds as inhibitors of c-JUN N-terminal kinases and other protein kinases.
INVENTOR(S): Green, Jeremy; Bemis, Guy; Grillot, Anne-Laure; Ledeboer, Mark; Salituro, Francis; Harrington, Edmund; Gao, Huai; Baker, Christopher; Cao, Jingrong; Hale, Michael
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001012621	A1	20010222	WO 2000-US22445		20000811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1218369	A1	20020703	EP 2000-957485		20000811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
BR 2000013551	A	20030617	BR 2000-13551		20000811
JP 2003531103	T2	20031021	JP 2001-517519		20000811
NO 2002000713	A	20020412	NO 2002-713		20020212
US 2003149051	A1	20030807	US 2002-74177		20020212
US 6693108	B2	20040217			
ZA 2002001248	A	20030220	ZA 2002-1248		20020213
PRIORITY APPLN. INFO.:			US 1999-148795P	P	19990812
			US 1999-166922P	P	19991122
			US 2000-211517P	P	20000614
			WO 2000-US22445	W	20000811

OTHER SOURCE(S): MARPAT 134:178569
GI



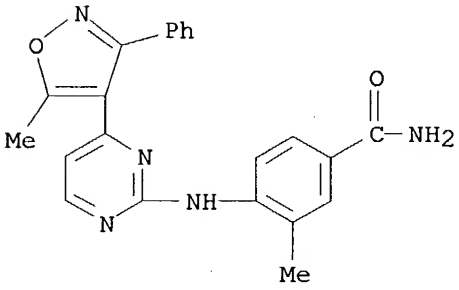
I

AB Title compds. [I; XYZ = NOCR2, ON:CR2, N:NNR3, OC(R2):CR2, NN(R3)CR2; R1 = H, CONH2, TnR, TnAr2; R = (substituted) aliphatyl; n = 0, 1; T = CO, CO2, CONH, SO2, SO2NH, COCH2, CH2; R2 = H, R, CH2OR, CH2OH, CHO, CH2SR, CH2SO2R, CH2NH2, CH2CN, (substituted) aryl, arylmethyl, heterocyclyl, heterocyclylmethyl, etc.; R3 = H, R, COR, CO2R, SO2R; G = R, Ar1; Ar1 = (substituted) (fused) aryl, aralkyl, heterocyclyl; Q = Q1, Q2; A = N, CR3; U = CR3, O, S, NR3; Ar2 = (substituted) (fused) aryl, heterocyclyl], were prepared Thus, 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylamine (preparation given) was refluxed with PhBr, tris(dibenzylideneacetone)dipalladium, BINAP, and NaOCMe3 were refluxed together for 16 h to give 36% 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylphenylamine. Several I inhibited KNK3 at <0.1 μM.

IT **326818-24-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of as isoxazolylpyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

RN 326818-24-0 CAPLUS

CN Benzamide, 3-methyl-4-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:293493 CAPLUS

DOCUMENT NUMBER: 129:4655

TITLE: 2-Pyrimidineamines and their preparation

INVENTOR(S): Davis, Peter David; Moffat, David Festus Charles; Batchelor, Mark James; Hutchings, Martin Clive; Parry, David Mark

PATENT ASSIGNEE(S): Celltech Therapeutics Ltd., UK; Davis, Peter David; Moffat, David Festus Charles; Batchelor, Mark James; Hutchings, Martin Clive; Parry, David Mark

SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

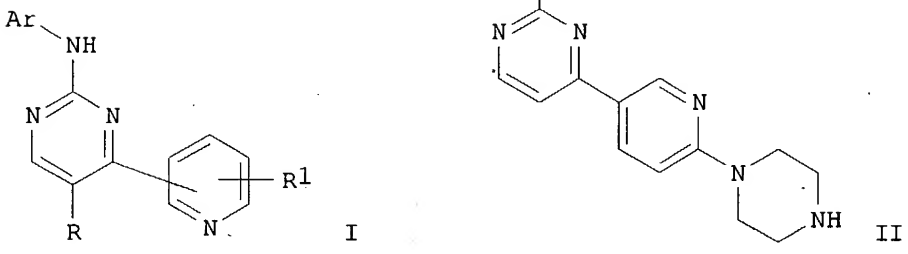
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818782	A1	19980507	WO 1997-GB2949	19971027
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9749540	A1	19980522	AU 1997-49540	19971027
AU 732155	B2	20010412		
EP 934304	A1	19990811	EP 1997-912296	19971027
EP 934304	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6114333	A	20000905	US 1997-958419	19971027
JP 2001503047	T2	20010306	JP 1998-520184	19971027
AT 233256	E	20030315	AT 1997-912296	19971027
ES 2193362	T3	20031101	ES 1997-912296	19971027
US 6552029	B1	20030422	US 1999-420755	19991020

PRIORITY APPLN. INFO.:

GB 1996-22363	A	19961028
US 1997-958419	A1	19971027
WO 1997-GB2949	W	19971027

OTHER SOURCE(S): MARPAT 129:4655
GI



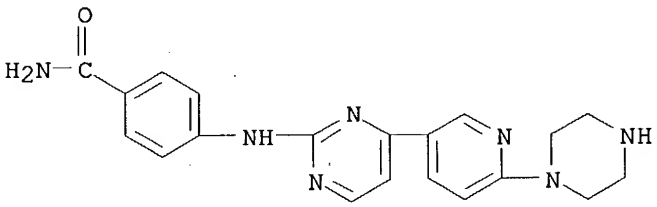
AB The title compds. [I; Ar = (un)substituted aromatic group; R = H, halo, ZR2; R1 = (un)substituted heterocyclyl; R2 = (un)substituted alk(en)yl or alkynyl; Z = bond, linker atom or group] and their salts, solvates, hydrates and N-oxides, selective inhibitors of tyrosine kinases ZAP-70 and Syk (no data), useful in the prophylaxis and treatment of immune or allergic diseases and diseases involving inappropriate platelet activation, were prepared Pharmaceutical compns. containing I are also claimed.

For example, refluxing a solution of 3,4,5-trimethoxyphenylguanidine, 1-(2-chloropyridin-5-yl)-3-dimethylamino-2-propen-1-one [preparation from 5-acetyl-2-chloropyridine and Me2NCH(OEt)2 given] and NaOH in Me2CHOH gave 4-(2-chloropyridin-5-yl)-N-(3,4,5-trimethoxyphenyl)-2-pyridineamine which was heated with piperazine at 140° to give a title compound II (m. 134-135°).

IT **207283-10-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-pyrimidineamines and their preparation)

RN 207283-10-1 CAPLUS

CN Benzamide, 4-[[4-[6-(1-piperazinyl)-3-pyridinyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:112478 CAPLUS

DOCUMENT NUMBER: 108:112478

TITLE: Preparation of 4,5,6-substituted 2-pyrimidinamines as allergy inhibitors, antiasthmatics, and hypoglycemics

INVENTOR(S): Torley, Lawrence Wayne; Johnson, Bernard B.; Dusza, John Paul

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: Eur. Pat. Appl., 94 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

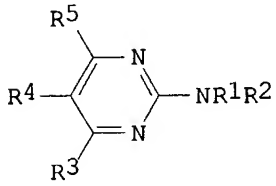
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 233461	A2	19870826	EP 1987-100277	19870112
EP 233461	A3	19880525		
EP 233461	B1	19960320		
EP 233461	B2	20020529		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
US 4788195	A	19881129	US 1986-927572	19861106
AT 135699	E	19960415	AT 1987-100277	19870112
ES 2087056	T3	19960716	ES 1987-100277	19870112
DK 8700151	A	19870714	DK 1987-151	19870113
DK 171251	B1	19960812		
FI 8700113	A	19870714	FI 1987-113	19870113
FI 91150	B	19940215		
FI 91150	C	19940525		
AU 8767518	A1	19870716	AU 1987-67518	19870113
AU 591223	B2	19891130		

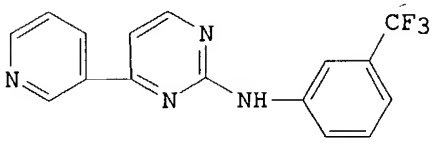
ZA 8700219	A	19870826	ZA 1987-219		19870113
JP 62223177	A2	19871001	JP 1987-5867		19870113
JP 07080857	B4	19950830			
HU 43582	A2	19871130	HU 1987-100		19870113
HU 198708	B	19891128			
CA 1320201	A1	19930713	CA 1987-527173		19870113
US 4876252	A	19891024	US 1988-194751		19880517
AU 9050578	A1	19900726	AU 1990-50578		19900228
AU 621461	B2	19920312			
PRIORITY APPLN. INFO.:			US 1986-817951	A	19860113
			US 1986-927572	A3	19861106

OTHER SOURCE(S): CASREACT 108:112478

GI



I



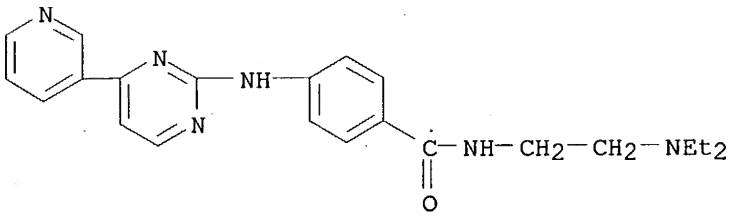
II

AB The title compds. [I; R1 = H, C1-3 alkyl, EtO2CCO, Et2NCH2CH2; R2 = substituted Ph; R3 = Me2NC6H4, AcNMeC6H4, (un)substituted furanyl, thienyl, N-containing heteroaryl; R4, R5 = H, C1-3 alkyl] and their pharmacol. acceptable salts were prepared for treating asthma and allergic diseases, inflammation, and diabetes mellitus. A mixture of 7.04 g 3-(dimethylamino)-1-(3-pyridinyl)-2-propen-1-one and 18.72 g 3-F3CC6H4NHC(:NH)NH2.H2CO3 was refluxed 16 h in PrOH to give 5.55 g pyridinylpyrimidinamine II. II inhibited histamine release from immunol. stimulated human basophils with an IC50 of 0.7 μM. II also gave 58.1% inhibition of lipoxygenase activity in guinea pig neutrophils at 10 μg/mL.

IT **112676-85-4P 112676-86-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

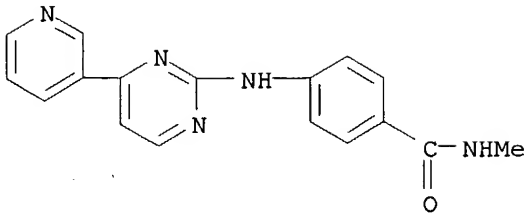
RN 112676-85-4 CAPLUS

CN Benzamide, N-[2-(diethylamino)ethyl]-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 112676-86-5 CAPLUS

CN Benzamide, N-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)


N
N
NH
N
C
NHMe
O